```
Connecting via Winsock to STN



Welcome to STN International!  Enter x:X

LOGINID:SSPTAMPC1626

PASSWORD:
 * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'CAPLUS' AT 12:37:02 ON 07 DEC 2009
FILE 'CAPLUS' ENTERED AT 12:37:02 ON 07 DEC 2009
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COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                  ENTRY    SESSION
FULL ESTIMATED COST                              271.72     544.87

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                  ENTRY    SESSION
CA SUBSCRIBER PRICE                              -39.36     -39.36

=> file reg
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                  ENTRY    SESSION
FULL ESTIMATED COST                              271.72     544.87

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                  ENTRY    SESSION
CA SUBSCRIBER PRICE                              -39.36     -39.36

FILE 'REGISTRY' ENTERED AT 12:37:15 ON 07 DEC 2009
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:    6 DEC 2009  HIGHEST RN 1196037-39-4
DICTIONARY FILE UPDATES:   6 DEC 2009  HIGHEST RN 1196037-39-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10527384_reply_3.str
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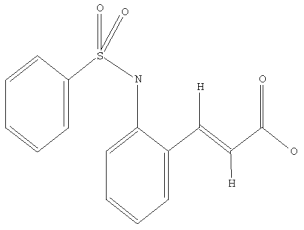

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G1


Structure attributes must be viewed using STN Express query preparation.


=> d his

     (FILE 'HOME' ENTERED AT 11:56:11 ON 07 DEC 2009)

     FILE 'REGISTRY' ENTERED AT 11:57:52 ON 07 DEC 2009
L1               STRUCTURE UPLOADED
L2            15 S L1 SAM
L3               STRUCTURE UPLOADED
L4            14 S L3 SAM
L5           292 S L3 FULL
L6           254 S L5 AND CAPLUS/LC
L7             0 S L5 NOT L5
L8             0 S L5 NOT L5
L9            38 S L5 NOT L6

     FILE 'CAPLUS' ENTERED AT 12:02:52 ON 07 DEC 2009
L10           48 S L6

     FILE 'REGISTRY' ENTERED AT 12:37:15 ON 07 DEC 2009
L11              STRUCTURE UPLOADED

=> s l11 sss sub=l5 sam
SAMPLE SUBSET SEARCH INITIATED 12:37:44 FILE 'REGISTRY'
SAMPLE SUBSET SCREEN SEARCH COMPLETED -        5 TO ITERATE

100.0% PROCESSED         5 ITERATIONS                             3 ANSWERS
SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET):           ONLINE  **COMPLETE**
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET):            5 TO      234
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET):               3 TO      163

L12            3 SEA SUB=L5 SSS SAM L11

=> s l11 sss sub=l5 full
FULL SUBSET SEARCH INITIATED 12:37:49 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -      115 TO ITERATE
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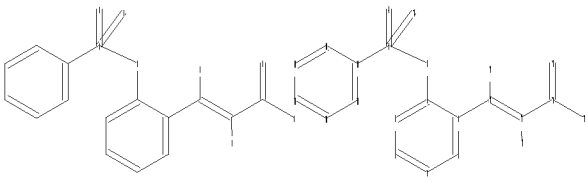

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chain nodes :
7  8  9  10  11  12  13  22  23  24  25
ring nodes :
1  2  3  4  5  6  16  17  18  19  20  21
chain bonds :
4-7  5-22  7-8  8-10  8-9  8-16  11-13  11-12  11-23  22-23  22-25  23-24
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  16-17  16-21  17-18  18-19  19-20  20-21
exact/norm bonds :
4-7  7-8  8-10  8-9  8-16  11-13  11-12
exact bonds :
5-22  11-23  22-23  22-25  23-24
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  16-17  16-21  17-18  18-19  19-20  20-21
isolated ring systems :
containing 1 :


G1

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS  9:CLASS  10:CLASS
 11:CLASS  12:CLASS  13:CLASS  16:Atom  17:Atom  18:Atom  19:Atom  20:Atom
21:CLASS  22:CLASS  23:CLASS  24:CLASS  25:CLASS



L11     STRUCTURE UPLOADED

=> d
L11 HAS NO ANSWERS
L11             STR
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```
100.0% PROCESSED      115 ITERATIONS                           64 ANSWERS
SEARCH TIME: 00.00.01

L13          64 SEA SUB=L5 SSS FUL L11

=> s l13 and caplus/lc
      69210847 CAPLUS/LC
L14          61 L13 AND CAPLUS/LC

=> s l13 not l14
L15           3 L13 NOT L14

=> d l15 1-3

L15  ANSWER 1 OF 3  REGISTRY  COPYRIGHT 2009 ACS on STN
RN   777898-58-5  REGISTRY
ED   Entered STN:  10 Nov 2004
CN   2-Propenoic acid, 3-[2-[[(4-methylphenyl)sulfonyl]amino]phenyl]-  (CA
     INDEX NAME)
MF   C16 H15 N O4 S
SR   Chemical Library
       Supplier: Rare Chemicals GmbH
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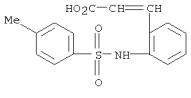

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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**


L15  ANSWER 2 OF 3  REGISTRY  COPYRIGHT 2009 ACS on STN
RN   777897-53-7  REGISTRY
ED   Entered STN:  10 Nov 2004
CN   2-Propenoic acid, 3-[5-chloro-2-[[(4-methylphenyl)sulfonyl]amino]phenyl]-
     (CA INDEX NAME)
MF   C16 H14 Cl N O4 S
SR   Chemical Library
       Supplier: Rare Chemicals GmbH
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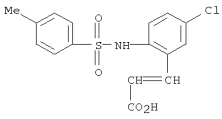

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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**


L15  ANSWER 3 OF 3  REGISTRY  COPYRIGHT 2009 ACS on STN
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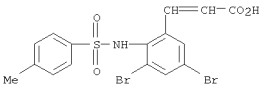
RN 777897-37-7 REGISTRY
ED Entered STN: 10 Nov 2004
CN 2-Propenoic acid, 3-[3,5-dibromo-2-[[(4-methylphenyl)sulfonyl]amino]phenyl]- (CA INDEX NAME)
MF C16 H13 Br2 N O4 S
SR Chemical Library
Supplier: Rare Chemicals GmbH



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

=> file caplus
COST IN U.S. DOLLARS — SINCE FILE ENTRY: 56.46 — TOTAL SESSION: 601.33
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) — SINCE FILE ENTRY: 0.00 — TOTAL SESSION: -39.36
CA SUBSCRIBER PRICE

FILE 'CAPLUS' ENTERED AT 12:38:09 ON 07 DEC 2009
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FILE COVERS 1907 - 7 Dec 2009 VOL 151 ISS 24
FILE LAST UPDATED: 6 Dec 2009 (20091206/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 11:56:11 ON 07 DEC 2009)

FILE 'REGISTRY' ENTERED AT 11:57:52 ON 07 DEC 2009
L1 STRUCTURE UPLOADED
L2 15 S L1 SAM
L3 STRUCTURE UPLOADED
L4 14 S L3 SAM
L5 292 S L3 FULL
L6 254 S L5 AND CAPLUS/LC
L7 0 S L5 NOT L5
L8 0 S L5 NOT L5
L9 38 S L5 NOT L6

FILE 'CAPLUS' ENTERED AT 12:02:52 ON 07 DEC 2009
L10 48 S L6

FILE 'REGISTRY' ENTERED AT 12:37:15 ON 07 DEC 2009
L11 STRUCTURE UPLOADED
L12 3 S L11 SSS SAM SUB=L5
L13 64 S L11 SSS FULL SUB=L5
L14 61 S L13 AND CAPLUS/LC
L15 3 S L13 NOT L14

FILE 'CAPLUS' ENTERED AT 12:38:09 ON 07 DEC 2009

=> s l14
L16 15 L14

=> d l16 ibib gi abs hitstr 1-15

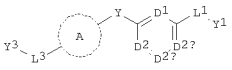
L16 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:1297092 CAPLUS
DOCUMENT NUMBER: 151:490887
TITLE: Preparation of bis-aryl compounds as leukotriene C4 synthase inhibitors
INVENTOR(S): Nilsson, Peter; Katkevics, Martins; Pelcman, Benjamin
PATENT ASSIGNEE(S): Biolipox AB, Swed.
SOURCE: PCT Int. Appl., 196pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009127822	A2	20091022	WO 2009-GB966	20090416

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

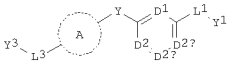
PRIORITY APPLN. INFO.: US 2008-71176P P 20080416

GI



I

GI



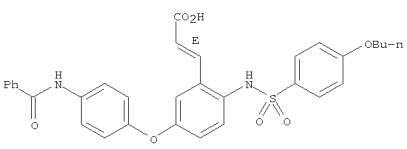
I

AB The title compds. I [either one of D2a and D2b = D2, and the other represents C(L2Y2); Y = O, S(O)m; each of D1, D2 and D3 resp. represent CR1a, CR1b and CR1c, or each of D1, D2 and D3 may alternatively ans independently represent N; ring A = (un)substituted phenylene, pyridinylene, etc.; Y1 = CH(CF3)OH, C(O)CF3, C(O)2CF3, etc.; Y2, Y3 = (un)substituted (hetero)aryl; L1 = O, C(O), (un)substituted CH2; L2, L3 = a bond, (CH2)pS, etc.; p = 0-2; m = 0-2] which are useful in the treatment of diseases in which inhibition of leukotriene C4 synthase is desired and/or required, and particularly in the treatment of a respiratory disorder and/or inflammation, were prepared E.g., a multi-step synthesis of 2-(4-butylbenzamido)-5-[3-carboxy-4-(phenylsulfonamido)phenoxy]benzoic acid, starting from 2-amino-5-hydroxybenzoic acid, was given. Exemplified compds. I were found to exhibit 50% inhibition of LTC4 synthase at a concentration of 10 μM or below (IC50 values provided for representative compds. I). Pharmaceutical compns. comprising compound I, alone or in combination with other therapeutic agent, are disclosed.

IT 1192316-00-9P 1192316-03-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bis-aryl compds. as leukotriene C4 synthase inhibitors)

RN 1192316-00-9 CAPLUS
CN 2-Propenoic acid, 3-[5-[4-(benzoylamino)phenoxy]-2-[[(4-butoxyphenyl)sulfonyl]amino]phenyl]-, (2E)- (CA INDEX NAME)

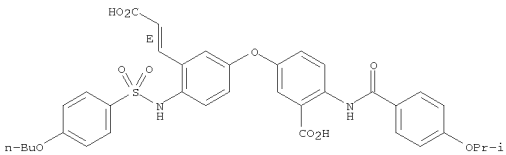
Double bond geometry as shown.



RN 1192316-03-2 CAPLUS

CN Benzoic acid, 5-[4-[[(4-butoxyphenyl)sulfonyl]amino]-3-[(1E)-2-carboxyethenyl]phenoxy]-2-[[4-(1-methylethoxy)benzoyl]amino]- (CA INDEX NAME)

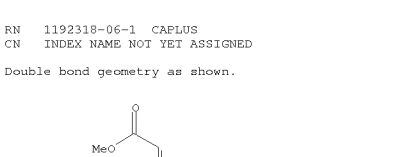
Double bond geometry as shown.



IT 1192318-02-7P 1192318-06-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bis-aryl compds. as leukotriene C4 synthase inhibitors)

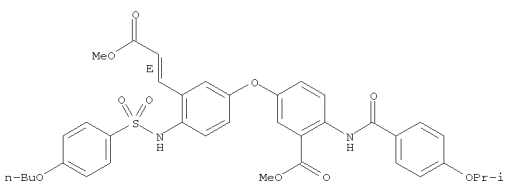
RN 1192318-02-7 CAPLUS
CN 2-Propenoic acid, 3-[5-[4-(benzoylamino)phenoxy]-2-[[(4-butoxyphenyl)sulfonyl]amino]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 1192318-06-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.

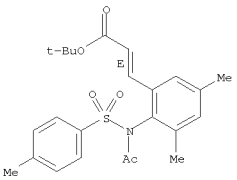


L16 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:1214476 CAPLUS
DOCUMENT NUMBER: 151:528585
TITLE: Synthesis of Highly Enantioenriched 3,4-Dihydroquinolin-2-ones by 6-Exo-trig Radical Cyclizations of Axially Chiral α-Halo-ortho-alkenyl Anilides
AUTHOR(S): Guthrie, David B.; Geib, Steven J.; Curran, Dennis P.
CORPORATE SOURCE: Department of Chemistry, University of Pittsburgh, Pittsburgh, PA, 15260, USA
SOURCE: Journal of the American Chemical Society (2009), 131(42), 15492-15500
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

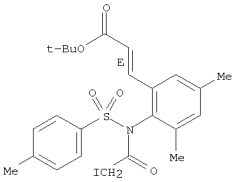
AB Radical cyclizations (Bu3SnH, Et3B/air, rt) of racemic α-halo-ortho-alkenyl anilides provide 3,4-dihydroquinolin-2-ones in high yield. Cyclizations of enantioenriched precursors occur in similarly high yields and with transfer of axial chirality to the new stereocenter of the products with exceptionally high fidelity (often >95%). Single and tandem cyclizations of α-halo-ortho-alkenyl anilides bearing an addnl. substituent on the α-carbon occur with high chirality transfer and high diastereoselectivity. Straightforward models are proposed to interpret both the chirality transfer and diastereoselectivity aspects. These first examples of an approach for axial chiral transfer from a reactive species in the amide to an acceptor suggest broad potential for extension both within and beyond radical reactions.

IT 1193254-49-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of racemic dihydroquinolinones via radical cyclization reactions of vinyl-substituted haloacetanilides and product competition expts. to determine a rate constant for cyclization)
RN 1193254-49-7 CAPLUS
CN 2-Propenoic acid, 3-[2-[acetyl[(4-methylphenyl)sulfonyl]amino]-3,5-dimethylphenyl]-, 1,1-dimethylethyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



IT 1193253-91-6P 1193254-02-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of racemic vinyl-substituted haloacetanilides as substrates for radical cyclization reactions to form dihydroquinolinones)
RN 1193253-91-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



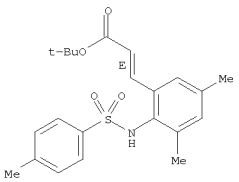
REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:533327 CAPLUS
DOCUMENT NUMBER: 149:69540
TITLE: Synthesis and biological evaluation of a series of 2-(3,4,5-trimethoxybenzoyl)-indol-3-yl acetic acid derivatives as potential agents against human leukemia K562 cells
AUTHOR(S): Romagnoli, Romeo; Baraldi, Pier Giovanni; Cruz-Lopez, Olga; Carrion, Maria Dora; Cara, Carlota Lopez; Balzarini, Jan; Fabbri, Enrica; Gambari, Robero
CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Universita di Ferrara, Ferrara, 44100, Italy
SOURCE: Letters in Drug Design & Discovery (2008), 5(3), 214-220
CODEN: LDDDAW; ISSN: 1875-628X
URL: http://www.ingentaconnect.com/content/ben/lddd/2008/00000005/00000003
PUBLISHER: Bentham Science Publishers Ltd.
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
OTHER SOURCE(S): CASREACT 149:69540

AB A series of 2-(3',4',5'-trimethoxybenzoyl)-indol-3-yl acetic acid derivs. 2a-g and 3a-j were synthesized and the effects of all the compds. on human leukemia K562 cell growth were investigated. The results showed that the presence of one or more electron-donating methoxy groups at 7- or 5- and 7- positions of N-Me indole ring, corresponding to compds. 3d and 3f, increased antiproliferative activity against K562 cells and induced the cell apoptosis. The results demonstrated that the methylation of the nitrogen atom of indole nucleus plays an important role for the anti-proliferative activities. Replacing the 3',4',5'-trimethoxybenzoyl functionality with a 3',4-dimethoxybenzoyl, 4'-methoxybenzoy or benzoyl group (compds. 3h-j, resp.) yielded inactive compds.

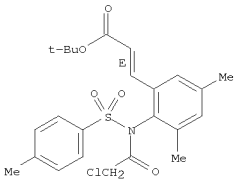
IT 1033562-59-2P 1033562-61-6P 1033562-63-8P
1033562-65-0P 1033562-67-2P 1033562-69-4P
1033562-71-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Synthesis and biol. evaluation of a series of 2-(3,4,5-trimethoxybenzoyl)-indol-3-yl acetic acid derivs. as potential agents against human leukemia K562 cells)
RN 1033562-59-2 CAPLUS
CN 2-Propenoic acid, 3-[2-[[(4-methylphenyl)sulfonyl]amino]phenyl]-, ethyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 1193254-02-2 CAPLUS
CN 2-Propenoic acid, 3-[2-[(2-chloroacetyl)[(4-methylphenyl)sulfonyl]amino]-3,5-dimethylphenyl]-, 1,1-dimethylethyl ester, (2E)- (CA INDEX NAME)

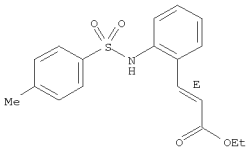
Double bond geometry as shown.



IT 1193254-12-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of racemic vinyl-substituted haloacetanilides as substrates for radical cyclization reactions to form dihydroquinolinones)
RN 1193254-12-4 CAPLUS
CN 2-Propenoic acid, 3-[2-[(2-iodoacetyl)[(4-methylphenyl)sulfonyl]amino]-3,5-dimethylphenyl]-, 1,1-dimethylethyl ester, (2E)- (CA INDEX NAME)

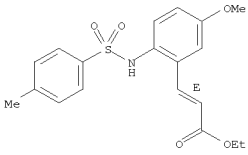
Double bond geometry as shown.

Double bond geometry as shown.



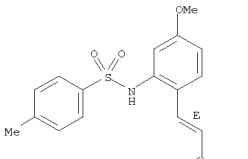
RN 1033562-61-6 CAPLUS
CN 2-Propenoic acid, 3-[5-methoxy-2-[[(4-methylphenyl)sulfonyl]amino]phenyl]-, ethyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



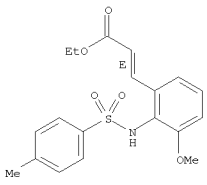
RN 1033562-63-8 CAPLUS
CN 2-Propenoic acid, 3-[4-methoxy-2-[[(4-methylphenyl)sulfonyl]amino]phenyl]-, ethyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



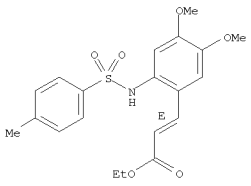
RN 1033562-65-0 CAPLUS
CN 2-Propenoic acid, 3-[3-methoxy-2-[[(4-methylphenyl)sulfonyl]amino]phenyl]-, ethyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



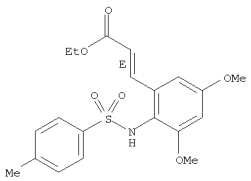
RN 1033562-67-2 CAPLUS
CN 2-Propenoic acid, 3-[4,5-dimethoxy-2-[[(4-methylphenyl)sulfonyl]amino]phenyl]-, ethyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 1033562-69-4 CAPLUS
CN 2-Propenoic acid, 3-[3,5-dimethoxy-2-[[(4-methylphenyl)sulfonyl]amino]phenyl]-, ethyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 1033562-71-8 CAPLUS
CN 2-Propenoic acid, 3-[3,4,5-trimethoxy-2-[[(4-methylphenyl)sulfonyl]amino]phenyl]-, ethyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

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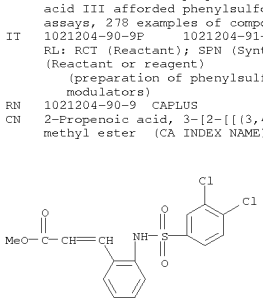
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

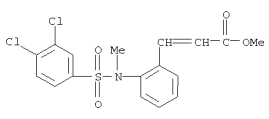
AB Title compds. I [X = (CR5aR5)m; Y = (CR4aR4)n; W = (CH2)p; m = 0-3; n = 1, 2; p = 0-2; R1 = aryl, heteroaryl; R2 = OH, O-alkyl, F; R3 = aryl, heteroaryl; R4, R4a = H, alkyl with provisos; Z = O, CH2, NRn; Rn = H, alkyl, cycloalkyl, etc.; R5, R5a = H, alkyl with provisos; R6 = H, alkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, coupling of amine II and carboxylic acid III afforded phenylsulfonylpiperidine IV. In bradykinin BK1 receptor assays, 278 examples of compds. I exhibited 0.0->100% inhibition at 10 mM.

IT 1021204-90-9P 1021204-91-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phenylsulfonylpiperidines as bradykinin 1 receptor modulators)

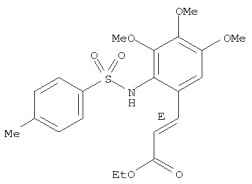
RN 1021204-90-9 CAPLUS
CN 2-Propenoic acid, 3-[2-[[(3,4-dichlorophenyl)sulfonyl]amino]phenyl]-, methyl ester (CA INDEX NAME)



RN 1021204-91-0 CAPLUS
CN 2-Propenoic acid, 3-[2-[[(3,4-dichlorophenyl)sulfonyl]methylamino]phenyl]-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:502720 CAPLUS
DOCUMENT NUMBER: 148:495803
TITLE: Preparation of N-phenylsulfonylpiperidines as bradykinin 1 receptor modulators
INVENTOR(S): Oberboersch, Stefan; Reich, Melanie; Schunk, Stefan; Hees, Sabine; Jostock, Ruth; Engels, Michael; Kless, Achim; Christoph, Thomas; Schiene, Klaus; Germann, Tieno; Bijsterveld, Edward
PATENT ASSIGNEE(S): Gruenenthal GmbH, Germany
SOURCE: PCT Int. Appl., 141pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

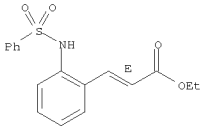
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008046573	A1	20080424	WO 2007-EP8927	20071015
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CA 2666406	A1	20080424	CA 2007-2666406	20071015
EP 2086935	A1	20090812	EP 2007-818998	20071015
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR				
US 20080249128	A1	20081009	US 2007-873065	20071016
PRIORITY APPLN. INFO.:			DE 2006-102006049412A	20061016
			US 2006-851740P P	20061016
			WO 2007-EP8927 W	20071015

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 148:495803
GI

L16 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:192837 CAPLUS
DOCUMENT NUMBER: 148:403061
TITLE: Heterocycles from ylides. Part XI. Synthesis of 2-substituted quinoline derivatives
AUTHOR(S): Cremonesi, Giuseppe; Dalla Croce, Piero; Fontana, Francesco; La Rosa, Concetta
CORPORATE SOURCE: Institute of Organic Chemistry "Alessandro Marchesini", Faculty of Pharmacy, Milan, I-20133, Italy
SOURCE: Heterocycles (2007), 74, 1015-1018
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 148:403061
AB The reaction of 2-N-phenylsulfonylaminobenzaldehyde with stabilized alkylidene phosphoranes gave, through a Wittig condensation followed by reduction of intermediate alkenes and cyclization with polyphosphoric acid, quinoline derivs.
IT 1015760-84-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-substituted quinoline derivs. by Wittig condensation of 2-N-phenylsulfonylaminobenzaldehyde with alkylidene phosphoranes followed by reduction of intermediate alkenes and intramol. cyclization using polyphosphoric acid)

RN 1015760-84-5 CAPLUS
CN 2-Propenoic acid, 3-[2-[(phenylsulfonyl)amino]phenyl]-, ethyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

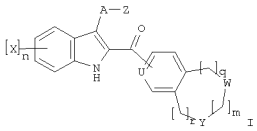


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:110366 CAPLUS
DOCUMENT NUMBER: 148:168595
TITLE: Preparation of bicyclylcarbonyl indole compounds as antiinflammatory/analgesic agents and as COX-2 inhibitors
INVENTOR(S): Hayashi, Shigeo; Stevens, Rodney William; Nakao, Kazunari
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Eur. Pat. Appl., 35pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1065204	A1	20010103	EP 2000-305372	20000626
EP 1065204	B1	20020410		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 215945	T	20020415	AT 2000-305372	20000626
ES 2173846	T3	20021101	ES 2000-305372	20000626
US 6303628	B1	20011016	US 2000-605811	20000628
CA 2313122	A1	20010102	CA 2000-2313122	20000629
CA 2313122	C	20040824		
JP 2001031677	A	20010206	JP 2000-195996	20000629
JP 3333179	B2	20021007		
BR 2000002936	A	20010403	BR 2000-2936	20000630
MX 2000006605	A	20041209	MX 2000-6605	20000703
PRIORITY APPLN. INFO.:			WO 1999-IB1243 W	19990702

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 148:168595; MARPAT 148:168595
GI



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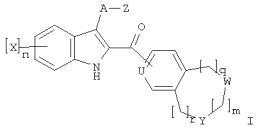
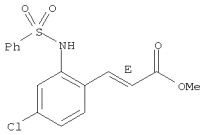


AB The title compds. I [A = alkylene or NR1; Z = is C(:L)R2 or SO2R3; U = CH or N; W and Y = CH2, O, S and NR1; m = 1-3; q and r = 0-2; X = halo, alkyl, haloalkyl, hydroxy, alkoxy, haloalkoxy or the like; n = 0-4; L = O or S; R1 = H or alkyl; R2 = hydroxy, alkyl, haloalkyl, alkoxy, haloalkoxy, cycloalkoxy, alkyl(cycloalkoxy) or the like; R3 = alkyl or haloalkyl] were prepared and claimed. E.g., a multi-step synthesis of Me {6-chloro-2-[(5,6,7,8-tetrahydroisoquinolin-3-yl)carbonyl]-1H-indol-3-yl}acetate, starting from Me trans-4-chloro-2-aminocinnamate, was given. Some exemplified compds. I were tested and showed IC50 of 0.001 μM to 10 μM with respect to inhibition of COX-2. This invention also provides a pharmaceutical composition comprising compound I useful for the treatment of a medical condition in which prostaglandins are implicated as pathogens.

IT 231296-58-5P 231296-65-4P 231296-73-4P
231296-99-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bicyclylcarbonyl indoles as antiinflammatory/analgesic agents and COX-2 inhibitors)

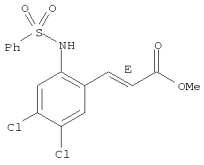
RN 231296-58-5 CAPLUS
CN 2-Propenoic acid, 3-[4-chloro-2-[(phenylsulfonyl)amino]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



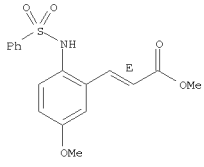
RN 231296-65-4 CAPLUS
CN 2-Propenoic acid, 3-[4,5-dichloro-2-[(phenylsulfonyl)amino]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



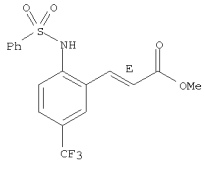
RN 231296-73-4 CAPLUS
CN 2-Propenoic acid, 3-[5-methoxy-2-[(phenylsulfonyl)amino]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 231296-99-4 CAPLUS
CN 2-Propenoic acid, 3-[2-[(phenylsulfonyl)amino]-5-(trifluoromethyl)phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

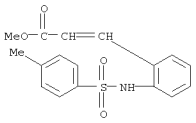


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

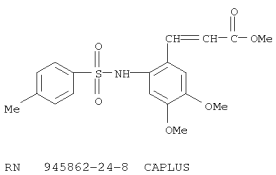
L16 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:1047331 CAPLUS
DOCUMENT NUMBER: 147:257718
TITLE: Synthesis of [2-(3-oxo-3,4-dihydro-2H-benzo[1,4]oxazin-6-carbonyl)-1H-indol-3-yl]acetic acids as potential COX-2 inhibitors
AUTHOR(S): Dubey, P. K.; Kumar, T. Venkateshwar; Reddanna, P.; Kumar, K. Anil
CORPORATE SOURCE: Department of Chemistry, College of Engineering, J.N.T. University, Hyderabad, 500 072, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (2006), 45B(9), 2128-2132
CODEN: IJSBDB; ISSN: 0376-4699
PUBLISHER: National Institute of Science Communication and Information Resources
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:257718
AB The synthesis of the title compds. by means of internal Michael addition of o-tosylaminophenylacrylic acid Me esters with 6-(chloroacetyl)-2H-1,4-benzoxazin-4H-ones followed by hydrolysis is reported.

IT 945862-20-4P 945862-22-6P 945862-24-8P
945862-26-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of [2-(3-oxo-3,4-dihydro-2H-benzo[1,4]oxazin-6-carbonyl)-1H-indol-3-yl]acetic acids as potential COX-2 inhibitors)

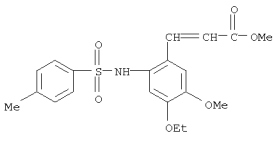
RN 945862-20-4 CAPLUS
CN 2-Propenoic acid, 3-[2-[[(4-methylphenyl)sulfonyl]amino]phenyl]-, methyl ester (CA INDEX NAME)



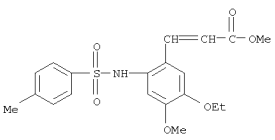
RN 945862-22-6 CAPLUS
CN 2-Propenoic acid, 3-[4,5-dimethoxy-2-[[(4-methylphenyl)sulfonyl]amino]phenyl]-, methyl ester (CA INDEX NAME)



RN 945862-24-8 CAPLUS
CN 2-Propenoic acid, 3-[4-ethoxy-5-methoxy-2-[[(4-methylphenyl)sulfonyl]amino]phenyl]-, methyl ester (CA INDEX NAME)

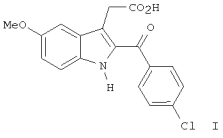


RN 945862-26-0 CAPLUS
CN 2-Propenoic acid, 3-[5-ethoxy-4-methoxy-2-[[(4-methylphenyl)sulfonyl]amino]phenyl]-, methyl ester (CA INDEX NAME)

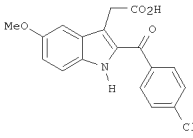


OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:689544 CAPLUS
DOCUMENT NUMBER: 139:350598
TITLE: Synthesis of 2-acylindole-3-acetic acids: a novel base-mediated indole synthesis
AUTHOR(S): Nakao, Kazunari; Murata, Yoshinori; Koike, Hiroki; Uchida, Chikara; Kawamura, Kiyoshi; Mihara, Sachiko; Hayashi, Shigeo; Stevens, Rodney W.
CORPORATE SOURCE: Nagoya Laboratories, Discovery Chemistry Research, Global Research & Development, Pfizer Inc., 5-2 Taketoyo, Aichi, 470-2393, Japan
SOURCE: Tetrahedron Letters (2003), 44(39), 7269-7271 CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:350598
GI

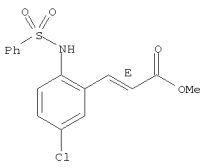


GI



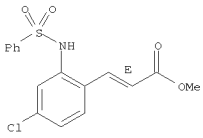
AB An efficient and expedient synthetic route to 2-acylindole-3-acetic acids, e.g., I, is described. A one-pot room-temperature indole ring construction via the in situ generation of indoline intermediate is reported.
IT 231296-04-1P 231296-58-5P 231296-73-4P
231297-00-0P 618902-22-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of acylindoleacetic acids via Wittig reaction of nitrobenzaldehydes with Me triphenylphosphoranylidene acetate followed by reduction, sulfonylation, base-mediated heterocyclization, desulfonylation, and ester hydrolysis)
RN 231296-04-1 CAPLUS
CN 2-Propenoic acid, 3-[5-chloro-2-[(phenylsulfonyl)amino]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



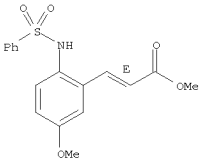
RN 231296-58-5 CAPLUS
CN 2-Propenoic acid, 3-[4-chloro-2-[(phenylsulfonyl)amino]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



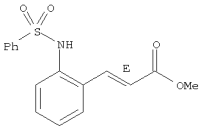
RN 231296-73-4 CAPLUS
CN 2-Propenoic acid, 3-[5-methoxy-2-[(phenylsulfonyl)amino]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



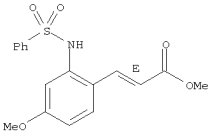
RN 231297-00-0 CAPLUS
CN 2-Propenoic acid, 3-[2-[(phenylsulfonyl)amino]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 618902-22-0 CAPLUS
CN 2-Propenoic acid, 3-[4-methoxy-2-[(phenylsulfonyl)amino]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

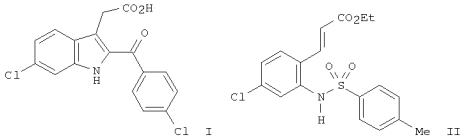
Double bond geometry as shown.



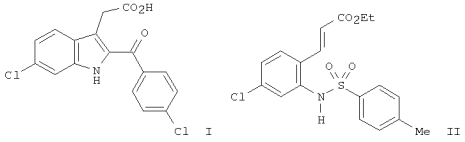
OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:292359 CAPLUS
DOCUMENT NUMBER: 139:36409
TITLE: Efficient Synthesis of [6-Chloro-2-(4-chlorobenzoyl)-1H-indol-3-yl]acetic Acid, a Novel COX-2 Inhibitor
AUTHOR(S): Caron, Stephane; Vazquez, Enrique; Stevens, Rodney W.; Nakao, Kazunari; Koike, Hiroki; Murata, Yoshinori
CORPORATE SOURCE: Chemical R&D, Pfizer Global Research Development, Groton, CT, 06340-8118, USA
SOURCE: Journal of Organic Chemistry (2003), 68(10), 4104-4107 CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:36409
GI



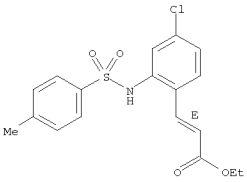
GI



AB An efficient approach to the synthesis of 6-chloro-2-(4-chlorobenzoyl)-1H-indol-3-ylacetic acid (I), a selective cyclooxygenase 2 (COX-2) inhibitor, was developed. The synthesis relied on a novel indole ring formation that involved an alkylation/1,4-addition/elimination/isomerization cascade. The entire sequence from sulfonamide II and α-bromo-4-chloroacetophenone to I could be executed in a single pot.
IT 540734-09-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(condensation with bromoacetophenone; preparation of chloro(chlorobenzoyl)indoleacetic acid)
RN 540734-09-6 CAPLUS

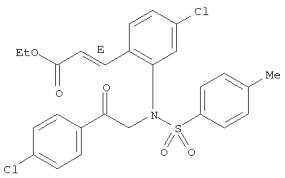
CN 2-Propenoic acid, 3-[4-chloro-2-[[(4-methylphenyl)sulfonyl]amino]phenyl]-, ethyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



IT 540734-11-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intramol. heterocyclization; preparation of chloro(chlorobenzoyl)indoleacetic acid)
RN 540734-11-0 CAPLUS
CN 2-Propenoic acid, 3-[4-chloro-2-[[2-(4-chlorophenyl)-2-oxoethyl][(4-methylphenyl)sulfonyl]amino]phenyl]-, ethyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

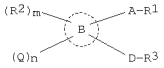


OS.CITING REF COUNT: 25 THERE ARE 25 CAPLUS RECORDS THAT CITE THIS RECORD (26 CITINGS)
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:154382 CAPLUS
DOCUMENT NUMBER: 138:187795
TITLE: Preparation of aryl or heterocyclyl-substituted benzoic acid and alkanoic acid derivatives as antagonists of prostaglandin E2 (PEG2) receptors
INVENTOR(S): Tani, Kousuke; Asada, Masaki; Kobayashi, Kaoru; Narita, Masami; Ogawa, Mikio
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 1009 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003016254	A1	20030227	WO 2002-JP8120	20020808
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2457468	A1	20030227	CA 2002-2457468	20020808
AU 2002323916	A1	20030303	AU 2002-323916	20020808
EP 1431267	A1	20040623	EP 2002-755874	20020808
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002011810	A	20040824	BR 2002-11810	20020808
CN 1551866	A	20041201	CN 2002-817376	20020808
HU 2004001963	A2	20050128	HU 2004-1963	20020808
HU 2004001963	A3	20060130		
NZ 531153	A	20051028	NZ 2002-531153	20020808
NZ 541950	A	20070223	NZ 2002-541950	20020808
RU 2315746	C2	20080127	RU 2004-106623	20020808
CN 101284773	A	20081015	CN 2008-10002260	20020808
ZA 2004000973	A	20050104	ZA 2004-973	20040205
NO 2004000564	A	20040510	NO 2004-564	20040206
MX 2004001253	A	20040603	MX 2004-1253	20040209
US 20060258728	A1	20061116	US 2004-486220	20040909
US 7491748	B2	20090217		

PRIORITY APPLN. INFO.: JP 2001-241867 A 20010809
CN 2002-817376 A3 20020808
WO 2002-JP8120 W 20020808
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 138:187795
GI



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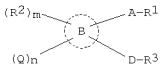
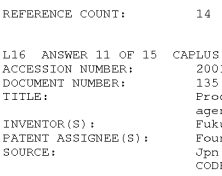


AB Carboxylic acid derivs. (I) and nontoxic salts thereof [wherein R1 = CO2H, CO2R4, CH2OH, COR5SO2R6, CONH2, CH2NR5SO2R6, CH2NR9COR10, CH2NR9CONR5SO2R6, CH2SO2NR9COR10, CH2O2CNR5SO2R6, tetrazole, 1,2,4-oxadiazol-5-one, 1,2,4-oxadiazol-5-thione, 1,2,4-thiadiazol-5-one, etc. (wherein R4 = C1-6 alkyl, hydroxy-C1-4 alkyl, C1-4 alkoxy-C1-4 alkyl, carboxy-C1-4 alkyl, etc.; R5, R9 = H, C1-6 alkyl; R6 = C1-6 alkyl, C3-15 mono-, di-, or tricarbocyclic, 3- to 13-membered mono-, di-, or tricyclic heterocyclyl, etc.; R10 = H, R6); A = a single bond, C1-6 alkylene, C2-6 alkenylene, C2-6 alkynylene, etc.; the ring B = C3-12 mono- or dicyclic carbocyclic ring, 3- to 12-membered mono- or dicyclic heterocyclic ring; R2 = C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, C2-6 alkenyl, C2-6 alkynyl, halo, CHF2, CF3, NO2, cyano, Ph, oxo; m, n = 0,1,2; Q = (C1-4 alkylene, C2-4 alkenylene, or C2-4 alkynylene)-Cyc2, -C1-4 alkylene-2-Cyc3, amino-C1-4 alkyl, cyano-C1-4 alkyl, acylamino-C1-4 alkyl, 3- to 7-membered monocyclic carbocyclyl, 3- to 6-membered monocyclic heterocyclyl, etc. (wherein Cyc2, Cyc3 = C3-15 mono-, di-, or tricyclic carbocyclyl or heterocyclyl, etc.; Z = O, S, SO, SO2, NH, NHCO, etc.); D = an linking chain consisting of 1-2 or 3-6 of atoms selected from C, N, O, or S, etc.; R3 = C1-6 alkyl, C3-15 mono-, di-, or tricyclic carbocyclyl, 3- to 15-membered mono-, di-, or tricyclic heterocyclyl, etc.] are prepared These carboxylic acid derivs. include phenylpropanoic acid, phenylpropenoic acid, phenylpropanamide, phenylpropenamide, 3-oxoisoindolin-1-ylacetic acid, benzylbenzoic acid, benzylaminoacetic acid, pyrazolylmethylbenzoic acid, benzoylaminoacetic acid, (pyrazolylmethylphenyl)propenoic acid, pyrazolylmethylpropanoic acid, (pyridinyloxyphenyl)propanoic acid, phenoxyacetic acid, phenylbutanoic acid, (pyrazolylmethyl)propanamide, (piperazinylmethylphenyl)propanamide, (morpholinylmethylphenyl)propanamide, (pyridinyloxyphenyl)propanamide, (pyrazolylmethyl)propenamide (oxoimidazolidinylmethylphenyl)propanamide, (oxopyrrolidinylmethylphenyl)propenamide, (thiophenylmethylphenyl)propenamide, (pyrazolylmethylphenylamino)acetamide, (thiazolylaminomethylphenyl)propanamide, thiophenylpropenamide, (pyrazolylmethylphenoxy)acetamide, (phenoxymethyl)benzamide, (pyrazolylmethylphenylethyl)-1,2,4-oxadiazol-5-one, and (pyrazolylmethylphenylindolyl)acetic acid. Because of binding to PEG2 receptors, in particular, subtype EP3 and/or subtype EP4 and having antagonism, the compds. I are useful in preventing and/or treating diseases such as pain, allodynia, hyperalgesia, pruritus (itching), urticaria, atopic dermatitis, contact dermatitis, Urushi (Japanese lacquer tree) dermatitis, allergic conjunctivitis, symptoms during dialysis, asthma, rhinitis, allergic rhinitis, nasal congestion, sneeze, psoriasis, pollakiuria (increased urinary frequency), urination disorder, ejaculation (semination) disorder, fever (pyrexia), systemic inflammation reaction, learning disorder, Alzheimer's disease, neovascularization, cancer formation, cancer proliferation, cancer metastasis to organs, cancer metastasis to bone, hypercalcemia accompanied by cancer metastasis to bone, retinopathy, rubrum, erythema (rash), leucoma, skin moth-patch, heat burn, burn, steroid burn, kidney failure, nephropathy, acute or chronic nephritis, blood electrolyte disorder, imminent abortion, threatened abortion, excessive menstruation, dysmenorrhea, endometriosis, premenstrual syndrome, uterine gland myopathy, reproduction disorder, and stress. They are also useful in preventing and/or treating anxiety, depression, psychophysiol. disorder, mental retardation, thrombus, embolism, transient ischemic attack, cerebral infarction, atheroma, organ transplant, heart failure, hypertension, myocardial infarction, arteriosclerosis, circulation disorders or ulcers associated therewith, nerve disorders, vascular dementia, edema, diarrhea, constipation, biliary excretion disorder, ulcerative colitis, Crohn's disease, irritable bowel syndrome, reduction of rebound after using steroid drugs, aids for decreasing or removing steroid drugs, bone diseases, systemic granuloma, immune diseases, pyorrhea alveolaris, gingivitis, periodontal disease, nerve cell death, lung disorder, liver disorder, acute hepatitis, myocardial ischemia, Kawasaki disease, multiple organ failure, chronic headache, angiitis, venous failure, varicose vein (varicosis), anal fistula, diabetes insipidus, neonatal patent ductus arteriosus, and cholelithiasis. Thus, 4-hydroxymethyl-2-[2-(naphthalen-2-yl)ethoxy]cinnamic acid Et ester was mesylated by methanesulfonyl chloride in the presence of Et3N in THF at 0° for 15 min and condensed with pyrazole in the presence of NaH in DMF at 0° to give 2-[2-(naphthalen-2-yl)ethoxy]-4-(1-pyrazolylmethyl)cinnamic acid Et ester. 4-[2-[[2-(Naphthalen-1-yl)propanoyl]amino]-4-methylthiomethylphenyl]butanoic acid inhibited the binding of [3H]PGE2 to prostaglandin E2 (PEG2) receptor subtype EP1, Ep2, EP3, and EP4 expressed in CHO cells with Ki of >10, >10, 0.27, and 0.038 μM, resp. A tablet formulation containing (2E)-2-[2-(naphthalen-2-yl)ethoxy]-4-(1-pyrazolylmethyl)cinnamic acid was described.
IT 499151-02-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl or heterocyclyl-substituted benzoic acid and alkanoic acid derivs. as antagonists of prostaglandin E2 (PEG2) receptors as therapeutic agents)
RN 499151-02-9 CAPLUS
CN 2-Propenoic acid, 3-[2-[methyl(phenylsulfonyl)amino]-4-(phenoxymethyl)phenyl]-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

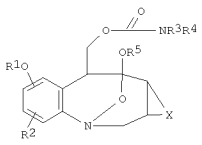


OS.CITING REF COUNT: 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (30 CITINGS)
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:668213 CAPLUS
DOCUMENT NUMBER: 135:227024
TITLE: Production method of FR 900482 analogs as antitumor agents
INVENTOR(S): Fukuyama, Toru; Tokuyama, Hidetoshi
PATENT ASSIGNEE(S): Foundation for Scientific Technology Promotion, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

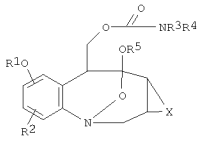
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

JP 2001247573 A 20010911 JP 2000-107404 20000304
JP 4287570 B2 20090701
PRIORITY APPLN. INFO.: JP 2000-107404 20000304
OTHER SOURCE(S): CASREACT 135:227024; MARPAT 135:227024
GI



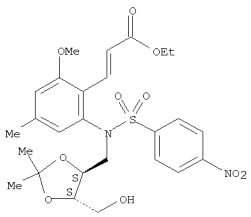
I

GI



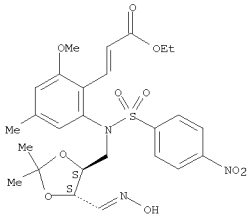
I

AB Title compds. [I; R1, R2, R3, R4, R5 independently = H, hydrocarbon; X = O, NH], enantiomers, and intermediates are prepared Title compds. are prepared as the aldose reductase inhibitors. Thus, the title compound I (R1 = CH3; R2 = CH3; R3 = H; R4 = H; X = NH) was prepared from 2-methoxy-4-methyl-6-azido- benzaldehyde, (C6H5)3P:CHCOOC2H5, and L-tartaric acid derivative via cyclization and reduction
IT 359818-59-0P 359818-60-3P 359818-61-4P
359818-62-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(production method of FR 900482 analogs as antitumor agents)
RN 359818-59-0 CAPLUS
CN 2-Propenoic acid, 3-[2-methoxy-4-methyl-6-[[(4-nitrophenyl)sulfonyl]amino]phenyl]-, ethyl ester (CA INDEX NAME)



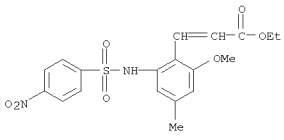
RN 359818-62-5 CAPLUS
CN 2-Propenoic acid, 3-[2-[[[(4S,5S)-5-[(hydroxyimino)methyl]-2,2-dimethyl-1,3-dioxolan-4-yl]methyl][(4-nitrophenyl)sulfonyl]amino]-6-methoxy-4-methylphenyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



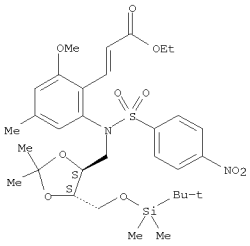
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L16 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:495121 CAPLUS
DOCUMENT NUMBER: 135:242045
TITLE: Intramolecular 1,3-Dipolar Cycloaddition Strategy for Enantioselective Synthesis of FR-900482 Analogues
AUTHOR(S): Kambe, Mika; Arai, Eri; Suzuki, Masashi; Tokuyama, Hidetoshi; Fukuyama, Tohru
CORPORATE SOURCE: Graduate School of Pharmaceutical Sciences, The University of Tokyo CREST The Japan Science and Technology Corporation, Bunkyo-ku, Tokyo, 113-0033, Japan
SOURCE: Organic Letters (2001), 3(16), 2575-2578
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal



RN 359818-60-3 CAPLUS
CN 2-Propenoic acid, 3-[2-[[[(4S,5S)-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2,2-dimethyl-1,3-dioxolan-4-yl]methyl][(4-nitrophenyl)sulfonyl]amino]-6-methoxy-4-methylphenyl]-, ethyl ester (CA INDEX NAME)

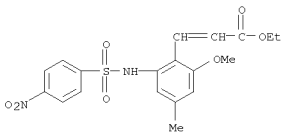
Absolute stereochemistry.
Double bond geometry unknown.



RN 359818-61-4 CAPLUS
CN 2-Propenoic acid, 3-[2-[[[(4S,5S)-5-(hydroxymethyl)-2,2-dimethyl-1,3-dioxolan-4-yl]methyl][(4-nitrophenyl)sulfonyl]amino]-6-methoxy-4-methylphenyl]-, ethyl ester (CA INDEX NAME)

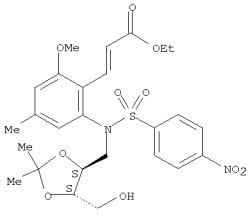
Absolute stereochemistry.
Double bond geometry unknown.

LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:242045
AB Enantioselective synthesis of FR-900482 analogs is described. The key reaction of the synthesis is intramol. 1,3-dipolar cycloaddn. of a highly functionalized nitrile oxide with complete stereo- and regioselectivities to construct the eight-membered benzazocine ring.
IT 359818-59-0P 360059-95-6P 360060-21-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(enantioselective synthesis of FR-900482 analogs via intramol. 1,3-dipolar cycloaddn. of nitrile oxide)
RN 359818-59-0 CAPLUS
CN 2-Propenoic acid, 3-[2-methoxy-4-methyl-6-[[(4-nitrophenyl)sulfonyl]amino]phenyl]-, ethyl ester (CA INDEX NAME)



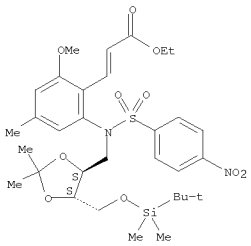
RN 360059-95-6 CAPLUS
CN 2-Propenoic acid, 3-[2-[[[(4R,5R)-5-(hydroxymethyl)-2,2-dimethyl-1,3-dioxolan-4-yl]methyl][(4-nitrophenyl)sulfonyl]amino]-6-methoxy-4-methylphenyl]-, ethyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



RN 360060-21-5 CAPLUS
CN 2-Propenoic acid, 3-[2-[[[(4R,5R)-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2,2-dimethyl-1,3-dioxolan-4-yl]methyl][(4-nitrophenyl)sulfonyl]amino]-6-methoxy-4-methylphenyl]-, ethyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



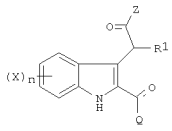
OS.CITING REF COUNT: 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:451281 CAPLUS
DOCUMENT NUMBER: 131:102195
TITLE: Preparation of 2,3-Substituted indoles as COX-2 inhibitors
INVENTOR(S): Nakao, Kazunari; Stevens, Rodney William; Kawamura, Kiyoshi; Uchida, Chikara; Koike, Hiroki; Caron, Stephane
PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.
SOURCE: PCT Int. Appl., 347 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9935130	A1	19990715	WO 1998-IB2065	19981218
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AP 869	A	20000904	AP 1998-1423	19981217
W: BW, GM, GH, KE, MW, SD, UG, ZM, ZW				
CA 2316863	A1	19990715	CA 1998-2316863	19981218
AU 9915005	A	19990726	AU 1999-15005	19981218
AU 748107	B2	20020530		
BR 9813124	A	20001010	BR 1998-13124	19981218
EP 1045833	A1	20001025	EP 1998-959082	19981218
EP 1045833	B1	20051102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO, CY				
TR 200001906	T2	20010122	TR 2000-1906	19981218
HU 2001002922	A2	20011228	HU 2001-2922	19981218
HU 2001002922	A3	20030630		
JP 2002500217	T	20020108	JP 2000-527531	19981218
JP 3347136	B2	20021120		
AT 308519	T	20051115	AT 1998-959082	19981218
ES 2255190	T3	20060616	ES 1998-959082	19981218
TW 436482	B	20010528	TW 1998-87120865	19981222
ZA 9900011	A	20000704	ZA 1999-11	19990104
US 6608070	B1	20030819	US 1999-355494	19990728
NO 2000003451	A	20000901	NO 2000-3451	20000704
MX 2000006620	A	20010219	MX 2000-6620	20000704
HR 2000000454	A1	20010430	HR 2000-454	20000705
BG 104643	A	20010228	BG 2000-104643	20000728
			WO 1998-IB3 A	19980105
			WO 1998-IB2065 W	19981218

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 131:102195
GI



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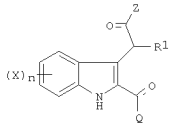
GI

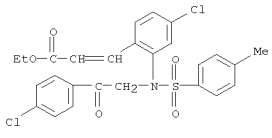


I

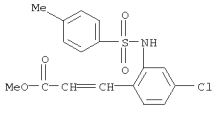
AB Title compds. [I or the pharmaceutically acceptable salts thereof; wherein Z is OH, C1-6 alkoxy, -NR2R3 or heterocycle; Q is selected from the following: (a) an optionally substituted Ph, (b) an optionally substituted 6-membered monocyclic aromatic group containing one, two, three or four nitrogen atom(s), (c) an optionally substituted 5-membered monocyclic aromatic group containing one heteroatom selected from O, S and N and optionally containing one, two or three nitrogen atom(s) in addition to said heteroatom, (d) an optionally substituted C3-7 cycloalkyl and (e) an optionally substituted benzofuzed heterocycle; R1 is hydrogen, C1-4 alkyl or halo; R2 and R3 are independently hydrogen, OH, C1-4 alkoxy, C1-4 alkyl or C1-4 alkyl substituted with halo, OH, C1-4 alkoxy or CN; X is independently selected from H, halo, C1-4 alkyl, halo-substituted C1-4 alkyl, OH, C1-4 alkoxy, halo-substituted C1-4 alkoxy, C1-4 alkylthio, NO2, NH2, di-(C1-4 alkyl)amino and CN; and n is 0, 1, 2, 3 and 4] are prepared as COX-2 inhibitors which provide pharmaceutical compns. useful for the treatment of a medical condition in which prostaglandins are implicated as pathogens. Thus, title compound I (R1 = H; Z = OEt; Q = C6H5; (X)n = 6-Cl) was prepared from 4-chloro-2-nitrobenzaldehyde, formic acid, and triphosgene in 5 steps via cyclization.

IT 231294-91-0P 231295-51-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of 2,3-substituted indoles. as COX-2 inhibitors)

RN 231294-91-0 CAPLUS
CN 2-Propenoic acid, 3-[4-chloro-2-[[2-(4-chlorophenyl)-2-oxoethyl][(4-methylphenyl)sulfonyl]amino]phenyl]-, ethyl ester (CA INDEX NAME)

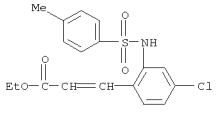


RN 231295-51-5 CAPLUS
CN 2-Propenoic acid, 3-[4-chloro-2-[[(4-methylphenyl)sulfonyl]amino]phenyl]-, methyl ester (CA INDEX NAME)

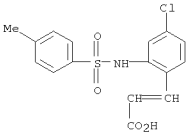


IT 231297-71-5 231297-72-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 2,3-substituted indoles. as COX-2 inhibitors)

RN 231297-71-5 CAPLUS
CN 2-Propenoic acid, 3-[4-chloro-2-[[(4-methylphenyl)sulfonyl]amino]phenyl]-, ethyl ester (CA INDEX NAME)



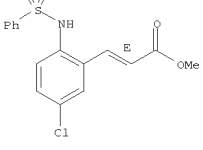
RN 231297-72-6 CAPLUS
CN 2-Propenoic acid, 3-[4-chloro-2-[[(4-methylphenyl)sulfonyl]amino]phenyl]-, (CA INDEX NAME)



IT 231296-04-1P 231296-58-5P 231296-65-4P
231296-68-7P 231296-71-2P 231296-73-4P
231296-76-7P 231296-78-9P 231296-84-7P
231296-88-1P 231296-91-6P 231296-93-8P
231296-95-0P 231296-97-2P 231296-99-4P
231297-00-0P 231297-42-0P 231297-53-3DP,
Polymer-bound 231297-55-5P 231297-59-9P
231297-61-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2,3-substituted indoles. as COX-2 inhibitors)

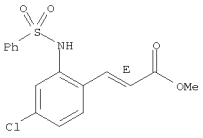
RN 231296-04-1 CAPLUS
CN 2-Propenoic acid, 3-[5-chloro-2-[(phenylsulfonyl)amino]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



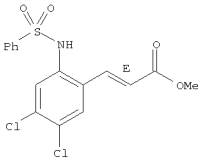
RN 231296-58-5 CAPLUS
CN 2-Propenoic acid, 3-[4-chloro-2-[(phenylsulfonyl)amino]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



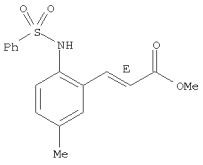
RN 231296-65-4 CAPLUS
CN 2-Propenoic acid, 3-[4,5-dichloro-2-[(phenylsulfonyl)amino]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



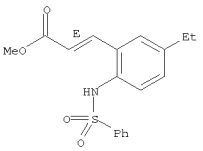
RN 231296-68-7 CAPLUS
CN 2-Propenoic acid, 3-[5-methyl-2-[(phenylsulfonyl)amino]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



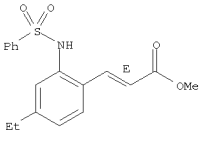
RN 231296-71-2 CAPLUS
CN 2-Propenoic acid, 3-[5-fluoro-2-[(phenylsulfonyl)amino]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



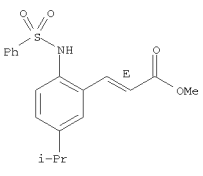
RN 231296-84-7 CAPLUS
CN 2-Propenoic acid, 3-[4-ethyl-2-[(phenylsulfonyl)amino]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



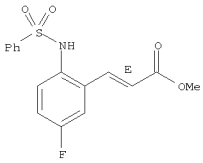
RN 231296-88-1 CAPLUS
CN 2-Propenoic acid, 3-[5-(1-methylethyl)-2-[(phenylsulfonyl)amino]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



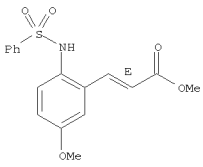
RN 231296-91-6 CAPLUS
CN 2-Propenoic acid, 3-[2-[(phenylsulfonyl)amino]-4-(trifluoromethyl)phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



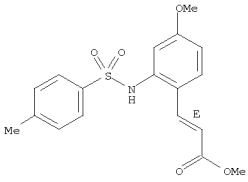
RN 231296-73-4 CAPLUS
CN 2-Propenoic acid, 3-[5-methoxy-2-[(phenylsulfonyl)amino]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



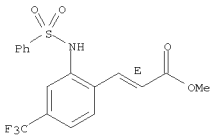
RN 231296-76-7 CAPLUS
CN 2-Propenoic acid, 3-[4-methoxy-2-[[(4-methylphenyl)sulfonyl]amino]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



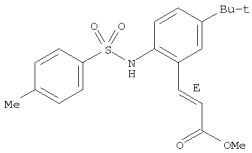
RN 231296-78-9 CAPLUS
CN 2-Propenoic acid, 3-[5-ethyl-2-[(phenylsulfonyl)amino]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



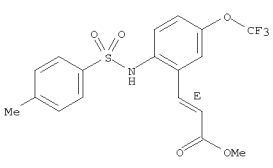
RN 231296-93-8 CAPLUS
CN 2-Propenoic acid, 3-[5-(1,1-dimethylethyl)-2-[[(4-methylphenyl)sulfonyl]amino]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



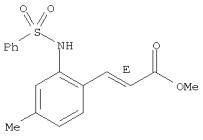
RN 231296-95-0 CAPLUS
CN 2-Propenoic acid, 3-[2-[[(4-methylphenyl)sulfonyl]amino]-5-(trifluoromethoxy)phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



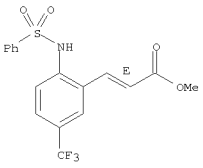
RN 231296-97-2 CAPLUS
CN 2-Propenoic acid, 3-[4-methyl-2-[(phenylsulfonyl)amino]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



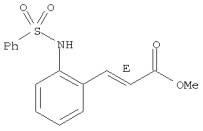
RN 231296-99-4 CAPLUS
CN 2-Propenoic acid, 3-[2-[(phenylsulfonyl)amino]-5-(trifluoromethyl)phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



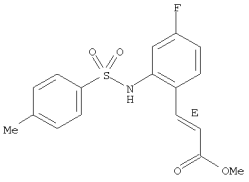
RN 231297-00-0 CAPLUS
CN 2-Propenoic acid, 3-[2-[(phenylsulfonyl)amino]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



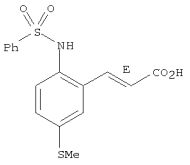
RN 231297-42-0 CAPLUS
CN 2-Propenoic acid, 3-[4-chloro-5-fluoro-2-[(phenylsulfonyl)amino]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 231297-61-3 CAPLUS
CN 2-Propenoic acid, 3-[5-(methylthio)-2-[(phenylsulfonyl)amino]phenyl]-, (2E)- (CA INDEX NAME)

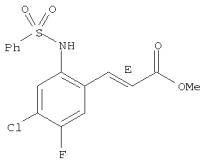
Double bond geometry as shown.



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

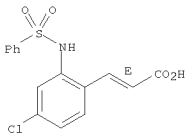
L16 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1994:54333 CAPLUS
DOCUMENT NUMBER: 120:54333
ORIGINAL REFERENCE NO.: 120:9915a,9918a
TITLE: Preparation of sulfonamidoaryl hydroxamic acids as inflammation and tumor inhibitors
INVENTOR(S): Ohtani, Mitsuaki; Arita, Hitoshi; Sugita, Kenji; Matsuura, Takaharu; Shirahase, Kazuhiro
PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9312075	A1	19930624	WO 1992-JP1593	19921207
W: JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				



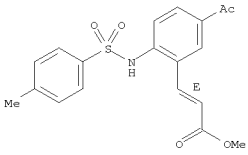
RN 231297-53-3 CAPLUS
CN 2-Propenoic acid, 3-[4-chloro-2-[(phenylsulfonyl)amino]phenyl]-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 231297-55-5 CAPLUS
CN 2-Propenoic acid, 3-[5-acetyl-2-[[(4-methylphenyl)sulfonyl]amino]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

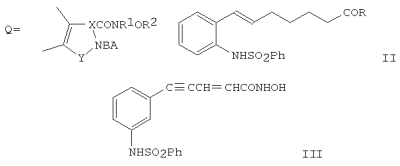


RN 231297-59-9 CAPLUS
CN 2-Propenoic acid, 3-[4-fluoro-2-[[(4-methylphenyl)sulfonyl]amino]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

EP 570594	A1	19931124	EP 1992-924883	19921207
EP 570594	B1	19970730		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
AT 156116	T	19970815	AT 1992-924883	19921207
ES 2107557	T3	19971201	ES 1992-924883	19921207
JP 3342485	B2	20021111	JP 1993-510775	19921207
US 5534654	A	19960709	US 1993-98272	19930803
PRIORITY APPLN. INFO.:			JP 1991-350793 A	19911210
			WO 1992-JP1593 W	19921207

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 120:54333; MARPAT 120:54333
GI



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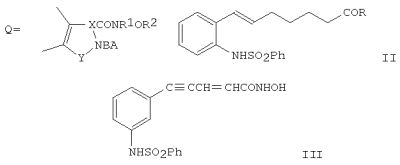
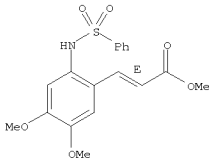


AB The title compds. R2ONR1COXA1YNR3BA2 (I) [A1 = (substituted) aromatic ring, aromatic heterocyclic ring; A2 = H, (substituted) aryl, aromatic heterocyclic ring; B = single bond, B1B2; B1 = CO, SO2; B2 = alkylene, alkenylene, etc.; X = (substituted) alkylene which may have O, S, N and may have unsatd. bond; Y = single bond, heteroatom, (substituted) alkylene which may contain heteroatom and may have unsatd. bond; X and N (which is linked to Y) may together form a moiety Q; R1 - R3 = H, (substituted) alkyl, aryl] were prepared I inhibit hemangioendothelial cell growth, the development of a lymphocyte adhesion factor, and ras gene-induced cell transformation and are useful as inflammation and tumor inhibitors. Condensation of carboxylic acid (E)-II (R = OH) with NH2OH.HCl in DMF containing N-hydroxysuccinimide, N,N-dicyclohexylcarbodiimide, and Et3n gave (E)-II (R = NHOH). Hydroxamic acid (E)-III in vitro exhibited MIC of 0.039 μM against ras gene-induced cell transformation.
IT 151721-04-9P 151721-05-0P

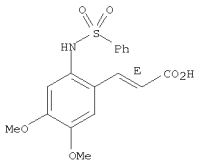
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of inflammation and tumor inhibitor)
RN 151721-04-9 CAPLUS
CN 2-Propenoic acid, 3-[4,5-dimethoxy-2-[(phenylsulfonyl)amino]phenyl]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



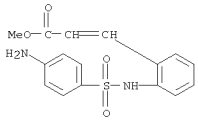
RN 151721-05-0 CAPLUS
CN 2-Propenoic acid, 3-[4,5-dimethoxy-2-[(phenylsulfonyl)amino]phenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

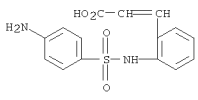


OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)

L16 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1950:43600 CAPLUS
DOCUMENT NUMBER: 44:43600
ORIGINAL REFERENCE NO.: 44:8336i,8337a-i,8338a-c
TITLE: Sulfonamides. III. Sulfanilamidocarboxyamides as intestinal antiseptics; the influence of pKa and hydrogen-bonding capacity
AUTHOR(S): Gorvin, John H.
CORPORATE SOURCE: Wellcome Labs., London
SOURCE: Journal of the Chemical Society (1949) 3304-11
CODEN: JCSOA9; ISSN: 0368-1769
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. C.A. 40, 1151.3, 1152.1. Amides and imides of sulfanilamido aryl carboxylic acids have been prepared for examination as intestinal antiseptics, and an attempt made to correlate pharmacol. activity, pKa, and degree of absorption from the gut. 5-Sulfanilamidosalicylic acid (I), m. 222-3°, was prepared by shaking mechanically at 40-50° Na 5-aminosalicylate (7.65 g. of the acid in 80 cc. 6% aqueous NaOH solution) with an aqueous suspension of 11.7 g. p-AcNHC6H4SO2Cl (II), adding 5 g. NaOH at the conclusion of reaction, boiling the solution 2 hrs., treating with Na2S2O4, adjusting to pH 2-3, purifying the precipitated I (90%) with decolorizing C and Na2S2O4, and repptg. I from NH3 solution (cf. Crossley, et al., C.A. 32, 8381.6; Fr. 830,754, C.A. 33, 1448.4; U.S. 2, 270, 676, C.A. 36, 3324.3); N4-Ac derivative, m. about 265° (decomposition); Me ester (87%), m. 188-9°; Et ester (80-85%), m. 186-7° (from aqueous alc.); amide (III) (83%), m. 221-2.5° (from 12.9 g. I Me ester left 5 days with 80 cc. aqueous NH3 (d. (0.880)); N4-Ac derivative of III, m. 272-3°. 3-Aminosalicylic acid (IV) was prepared (50%) by reduction of Na 3-nitrosalicylate with Na2S2O4 in warm aqueous solution, adjusting the pH to 5, and evaporating the solution in vacuo until IV separated The following compds. were prepared by similar methods: 3-sulfanilamidosalicylic acid (V) (56%), m. 217-18° (decomposition); [N4-Ac derivative, m. 265° (decomposition); Et ester (61%), m. 176.5°; amide (88%), m. 193-4°]; 3-sulfanilamidocinnamic acid (VI) (60%), m. 214° (cf. C.A. 33, 2495.9) (Et ester, 94%, m. 147-8°; amide, 96%, m. 247°); Et 4-aminocinnamate (VII), m. 73°, prepared in 50% yield by reducing 7.5 g. of the 4-nitro compound in 20 cc. hot glacial AcOH with 25 g. SnCl2 in 20 cc. concentrated HCl, boiling until solution was completed, pouring onto ice, making alkaline with NaOH, dissolving the crude precipitated ester in alc., treating with Na2S2O4, and diluting with H2O. 4-Sulfanilamidocinnamic acid (VIII), m. 247° (decomposition), was best prepared by warming VII with II in pyridine for 0.5 hr., giving Et 4-(N4-acetylsulfanilamido)cinnamate, m. 211°, saponified to VIII, m. 247° (decomposition) (Me ester, m. 257°; Et ester, m. 221-2°; amide, m. 224.5°). The following were obtained similarly: 2-sulfanilamidocinnamic acid (92%), m. 256° (N4-Ac derivative, m. 215°; Me ester, m. 190-191°; amide, m. 237-238°). 3-Aminophthalimide (2.4 g.), prepared from the 3-nitro derivative with Na2S2O4, was warmed with 3.5 g. II in pyridine at 80° for 2 hrs., giving 72% 3-(N4-acetylsulfanilamido)phthalimide, m. 240-1°, which was deacetylated by stirring 11 g. with 100 cc. concentrated HCl for 5 min. and pouring into ice to give 3-sulfanilamidophthalimide, m. 219° (from 25% aqueous alc.). 4-Sulfanilamidophthalimide (40%), m. 266°, was prepared analogously, but deacetylation of the Ac derivative, m. 295°, required 1-2 hrs. Et 3-aminopicolinate, m. 131-3°, prepared from the acid, was allowed to react (1.66 g.) for 1 hr. at 80° with 3 g. II in pyridine, the excess pyridine evaporated, and the residue taken up in dilute HCl and precipitated with NaOH solution, giving Et 3-(N4-acetylsulfanilamido)picolinate (IX) (64%), m. 187-8° (amide (X), yellowish prisms, m. 243-4°), deacetylated to 3-sulfanilamidopicolinamide, m. 212-13°. Et sulfanilamidoacetate (XI) shaken with aqueous NH3 for 1 hr. gave α-sulfanilamidoacetamide (XII), m. 155° (from alc.); XI dissolved in an aliphatic amine, let stand 3 days, and neutralized with NaOH gave the following N4-alkyl derivs.: Me, m. 147° and 162-3° (dimorphic); Et, m. 126-7°; Pr, m. 140°; Bu, m. 109-10°. The following relative rates of ammonolysis were determined by sealing the ester (0.0025 mol.) in a glass tube with aqueous NH3 (5 cc., d. 0.885) at room temperature: Et 4-sulfanilamidobenzoate, 148 days, 56% reacted; VIII Et ester, 148 days, 61%; Me 4-sulfanilamidobenzoate, 15 days, 83%; VIII Me ester, 15 days, 53%; Et 3-sulfanilamidobenzoate, 2.8 days, 55%; VI Et ester, 2.8 days, 100%; I Et ester, 2.8 days, 100%; Me 3-sulfanilamidobenzoate, 1.7 days, 80%; I Me ester, 1.7 days, 99.5%. The absorption of sulfonamides in mice was determined by administering the drug (25 mg./100 g. body weight) by mouth, in 10% gum-acacia mucilage, taking peripheral blood samples over a 6-hr. period, and estimating the sulfonamide content by a modified Bratton-Marshall method (cf. C.A. 33, 5017.4). From the absorption, the percentage drug unionized at pH 7.4 was calculated The following values were obtained: o-sulfanilamidobenzamide, 80; m, 91; p, 80; 4-sulfanilamidobenzamide (XIII) N-Me derivative 83; N-Et, 86; N-Pr, 86; N-Bu, 86; N,N-di-Me, 86; III, 64; VIII amide, 89; XII, and N4-Me, Et, Pr, and Bu derivs., 99.9; 4-sulfanilamidophenyl cyanide, 58. The pKa values of a series of sulfonamides were determined in H2O at concentration 0.0025 N and in 50% aqueous alc. at 0.01 N. The following results were obtained: sulfapyridine pKa/H2O 8.62, pKa/50% aqueous alc. 9.8; sulfathiazole, 7.41, 8.5; V amide, 7.1, 8.1; III, 7.65, 9.15; o-sulfanilamidobenzamide, 8.0, 9.4; m, 8.45, 10.2; p, 8.02, 9.9; N-Me XIII, 8.1, 10; N-Et XIII, 8.2, 10; N, N-di-Me XIII, 8.5, 10.15; sulfanilylsulfanilamide, 7.63, 9.45; VIII amide, 8.3, 10.2; p-sulfanilamidophenyl cyanide, 7.55, 9. The following values for pKa/H2O were determined: sulfanilamide, 10.7; XII, 10.35; N4-Me XII, 10.4; N4-Et, 10.25; N4-Pr and N4-Bu 10.4. The poor absorption of p-H2NC2H4SO2NHAc in contrast to the relatively good absorption of sulfanilamide seems to indicate that H-bonding properties of the CONH2 group, rather than pKa value or mol. size, are responsible for the low absorption of N-sulfanilamidocarboxamides.
IT 1089688-22-1P
RL: SPN (Synthetic preparation); PRP (Properties); PREP (Preparation)
(Sulfonamides. III. Sulfanilamidocarboxyamides as intestinal antiseptics; the influence of pKa and hydrogen-bonding capacity)
RN 1089688-22-1 CAPLUS
CN 2-Propenoic acid, 3-[2-[[(4-aminophenyl)sulfonyl]amino]phenyl]-, methyl ester (CA INDEX NAME)



IT 854884-18-7, Cinnamic acid, o-sulfanilamido-
(and derivs.)
RN 854884-18-7 CAPLUS
CN 2-Propenoic acid, 3-[2-[[(4-aminophenyl)sulfonyl]amino]phenyl]- (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 11:56:11 ON 07 DEC 2009)

FILE 'REGISTRY' ENTERED AT 11:57:52 ON 07 DEC 2009
L1 STRUCTURE UPLOADED
D
L2 15 SEA FILE=REGISTRY SSS SAM L1
L3 STRUCTURE UPLOADED
D
L4 14 SEA FILE=REGISTRY SSS SAM L3
L5 292 SEA FILE=REGISTRY SSS FUL L3
L6 254 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L5 AND CAPLUS/LC
L7 0 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L5 NOT L5
L8 0 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L5 NOT L5
L9 38 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L5 NOT L6
D L9 1-38

FILE 'CAPLUS' ENTERED AT 12:02:52 ON 07 DEC 2009
L10 48 SEA FILE=CAPLUS SPE=ON ABB=ON PLU=ON L6
D L10 IBIB GI ABS HITSTR 1-48

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L11 STRUCTURE UPLOADED
D
L12 3 SEA FILE=REGISTRY SUB=L5 SSS SAM L11
L13 64 SEA FILE=REGISTRY SUB=L5 SSS FUL L11
L14 61 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L13 AND CAPLUS/LC
L15 3 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L13 NOT L14
D L15 1-3

FILE 'CAPLUS' ENTERED AT 12:38:09 ON 07 DEC 2009
L16 15 SEA FILE=CAPLUS SPE=ON ABB=ON PLU=ON L14
D L16 IBIB GI ABS HITSTR 1-15

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	85.60	686.93
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-12.30	-51.66

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STN INTERNATIONAL SESSION SUSPENDED AT 12:39:04 ON 07 DEC 2009